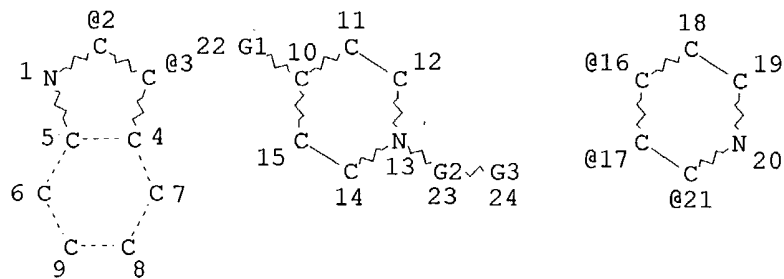


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L1 STR
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DEFAULT ECLEVEL IS LIMITED
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STEREO ATTRIBUTES: NONE
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95 ANSWERS

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=> s 13

L4 4 L3

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L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:675742 CAPLUS

DN 141:207058

TI Preparation of piperidine derivatives as muscarinic receptors stimulator for treatment of schizophrenia

IN Ono, Shinichiro; Hamaguchi, Seiji; Horiuchi, Hideki

PA Mitsubishi Pharma Corporation, Japan

SO PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

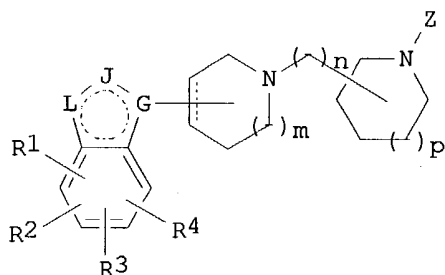
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | WO 2004069828 | A1 | 20040819 | WO 2004-JP1114 | 20040204 |
| | W: | AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI | | | |
| | RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

PRAI JP 2003-26687

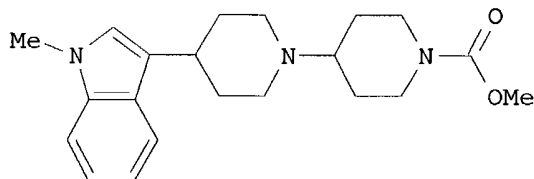
A

20030204

GI



I



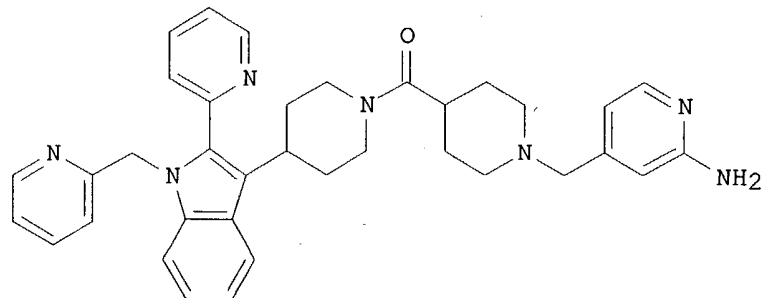
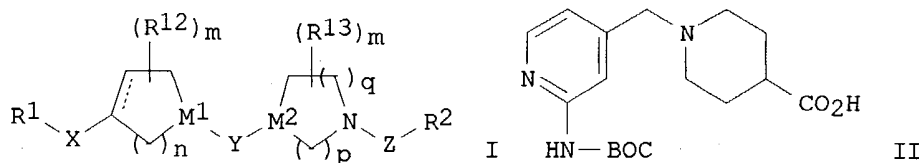
II

AB The title piperidine derivs. with general formula of I [wherein R1-R4 = independently H, halo, alkyl, etc.; G = C or N; J = (un)substituted C or N; L = C, N, O, S, etc.; Z = H, alkylsulfonyl, arylsulfonyl, etc.; m, n, and p = independently 0-2] or pharmaceutically acceptable salts thereof are prepared as muscarinic receptors stimulator for the treatment of

schizophrenia. For example, the compound II•(CO₂H)₂ was prepared in a multi-step synthesis. II•(CO₂H)₂ inhibited human muscarinic receptor M4 with K_i of 6.7 nM. Formulations containing I as an active ingredient were also described.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2004:2876 CAPLUS
 DN 140:59522
 TI Preparation of indole derivatives as histamine H3 antagonists
 IN Aslanian, Robert G.; Berlin, Michael Y.; Mangiaracina, Pietro; McCormick, Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.
 PA Schering Corporation, USA
 SO PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| PI | WO 2004000831 | A1 | 20031231 | WO 2003-US19619 | 20030620 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2004019099 | A1 | 20040129 | US 2003-600674 | 20030620 |
| PRAI | US 2002-390987P | P | 20020624 | | |
| OS | MARPAT 140:59522 | | | | |
| GI | | | | | |



III

AB Title compds. I [wherein R1 = (un)substituted indolyl or an aza derivative thereof; R2 = (un)substituted (hetero)aryl, quinolyl, heterocycloalkyl; R12, R13 = alkyl, hydroxyl, alkoxy, etc., or R13 = O; m = independently 0-3; n = 1-3; p = 1-3; q = 1-5; X = a bond or alkylene; Y = CO, CS, COCH₂,

etc.; Z = a bond, alkylene, alkenylene, CO, etc.; M1 = CH or N; M2 = CR3 or N; and salts or solvates thereof] were prepared as histamine H3 antagonists in treatment of H3 receptor related diseases. For example, reaction of II with 3-(4-piperidinyl)-2-(2-pyridinyl)indole, followed by deprotection and substitution with 2-chloromethylpyridine gave III, which showed 1.50 nM binding constant with histamine H3. Thus, I and their pharmaceutical compds., as well as in combination with H1 receptor antagonists, are useful as histamine H3 antagonists for the treatment of inflammatory diseases, allergic conditions and central nervous system disorders (no data).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:777926 CAPLUS
DN 137:294869
TI Preparation of 3-substituted indoles or fused pyrroles as antagonists of the chemokine MCP-1 (CCR2B) receptor
IN Gribble, Andrew Derrick; Forbes, Ian Thomson; Cooper, David Gwyn
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2

DT Patent
LA English

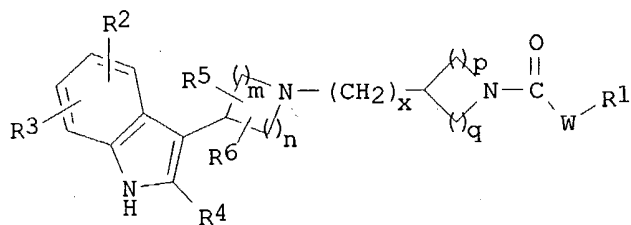
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| PI | WO 2002079190 | A1 | 20021010 | WO 2002-EP3572 | 20020328 |
| | W: | | | | |
| | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

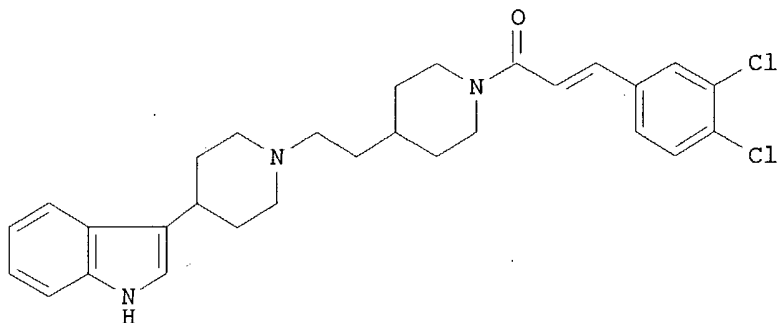
PRAI GB 2001-7907 A 20010329

OS MARPAT 137:294869

GI



I



II

AB Title compds. I [R1 = alkyl, aryl, heteroaryl; R2-3 = H, halo, CN, alkyl, cycloalkyl, alkoxy, haloalkyl, hydroxy, amino, etc.; R4 = H, alkyl; R5-6 = H, alkyl or together with the carbon atoms of the ring to which they are attached form a bridging 5-7-membered ring; W = bond, alkylene, alkyl, CH2O, CH2S, trans-(E)-CR7=CHY; R7 = H, alkyl; Y = bond, trans-(E)-CH=CH, CO; m, n = 1-3; p, q = 1-2; x = 1-4] were prepared. For example N-tert-butoxycarbonylamino-4-(2-bromoethyl)piperidine (preparation given) was used to alkylate 4-(indol-3-yl)piperidine (DMF, NaHCO3, 80°, 18 h), the product deprotected (CH2Cl2, TFA) and the resulting foam coupled to 3,4-dichlorocinnamoyl chloride (CH2Cl2/NaOHaq) to afford II. Selected example compds. had pKb in the range of 5-7.6 for the MCP-1 receptor. I are useful in treating inflammatory conditions with monocyte and/or lymphocyte involvement.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

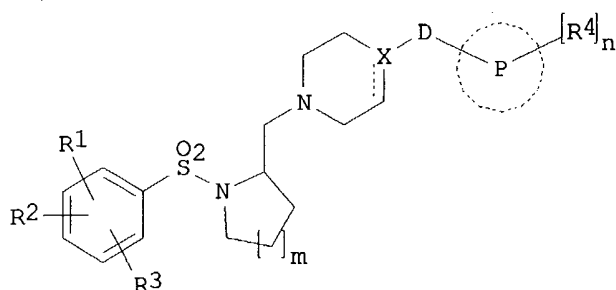
L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:861674 CAPLUS
DN 134:29433
TI Preparation of sulfonamide compounds with 5-HT7 antagonist activity
IN Lovell, Peter John
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2

DT Patent
LA English

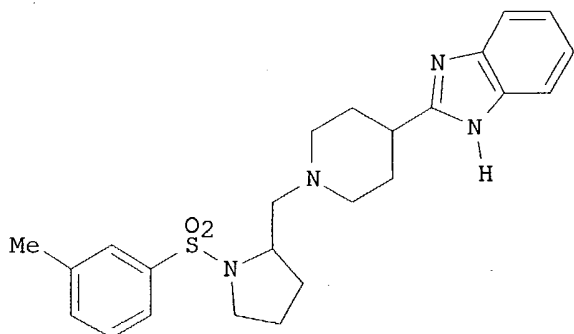
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------|----------|-----------------|----------|
| WO 2000073299 | A1 | 20001207 | WO 2000-EP4893 | 20000525 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, | | | | |

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 EP 1181287 A1 20020227 EP 2000-935141 20000525
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 IE, SI, LT, LV, FI, RO
 JP 2003500488 T2 20030107 JP 2000-621365 20000525
 US 2003130275 A1 20030710 US 2002-305450 20021127
 PRAI GB 1999-12701 A 19990601
 WO 2000-EP4893 W 20000525
 US 2001-979472 B1 20011114
 OS MARPAT 134:29433
 GI



I



II

AB The title compds. [I; R1-R3 = H, halo, OH, etc.; m = 1-2; X = N, C, CH; D
 = a bond, CO, O, CH2, with the proviso that when X = N then D is not O; P
 = Ph, naphthyl, 5-6 membered heteroaryl containing 1-3 heteroatoms selected
 from O, N and S, etc.; R4 = alkyl optionally substituted by NR5R6, aryl,
 arylalkyl, etc.; R5, R6 = H, alkyl, aryl, etc.; n = 0-3] having 5-HT7
 antagonist activity, and therefore useful in the treatment of CNS and
 other disorders, were prepared E.g., a multi-step synthesis of (R)-II was
 given. All compds. I tested had a pKi of 6.0-7.9 against 5-HT7 receptor
 binding.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT